

L1 ANSWER 4 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2002-445507 [48] WPIX  
 DOC. NO. CPI: C2002-127023 [48]  
 TITLE: New cyclic sulfonamido-substituted pyrazolo (3,4-  
 b)  
 cyclase pyridine derivatives, are soluble guanylate  
 cardiovascular or stimulants useful e.g. for treating  
 dysfunction or central nervous system diseases, sexual  
 inflammation  
 DERWENT CLASS: B02  
 INVENTOR: ALONSO-ALIJA C; DEMBOWSKY K; FEURER A; FLUBACHER  
 D; LANG  
 WEIGAND S; D; PERZBORN E; STAHL E; STASCH J; STRAUB A;  
 WUNDER F  
 PATENT ASSIGNEE: (FARB-C) BAYER AG; (ALON-I) ALONSO-ALIJA C;  
 (DEMB-I) DEMBOWSKY K; (FEUR-I) FEURER A; (FLUB-I)  
 FLUBACHER D;  
 STAHL E; (LANG-I) LANG D; (PERZ-I) PERZBORN E; (STAHL-I)  
 WEIGAND S; (STAS-I) STASCH J; (STRA-I) STRAUB A; (WEIG-I)  
 COUNTRY COUNT: (WUND-I) WUNDER F; (FARB-C) BAYER HEALTHCARE AG  
 97

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10057754	A1	20020523	(200248)*	DE	22[0]	
<--	WO	2002042302	A1	20020530	(200248)	DE
<--	AU	2002027919	A	20020603	(200263)	EN
	EP	1339714	A1	20030903	(200365)	DE
	US	20040067937	A1	20040408	(200426)	EN
	JP	2004517828	W	20040617	(200440)	JA 85
	US	7115599	B2	20061003	(200665)	EN
	EP	1339714	B1	20061018	(200670)	DE
	DE	50111292	G	20061130	(200680)	DE

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 10057754	A1	DE 2000-10057754	20001122
EP 1339714	A1	EP 2001-989460	20011112
EP 1339714	B1	EP 2001-989460	20011112
WO 2002042302	A1	***WO 2001-EP13064	
20011112***			

EP 1339714 A1	WO 2001-EP13064 20011112
US 20040067937 A1	WO 2001-EP13064 20011112
JP 2004517828 W	WO 2001-EP13064 20011112
US 7115599 B2	WO 2001-EP13064 20011112
EP 1339714 B1	WO 2001-EP13064 20011112
AU 2002027919 A	AU 2002-27919 20011112
JP 2004517828 W	JP 2002-544436 20011112
US 20040067937 A1	US 2003-432572 20031023
US 7115599 B2	US 2003-432572 20031023
DE 50111292 G	DE 2001-511292 20011112
DE 50111292 G	EP 2001-989460 20011112
DE 50111292 G	WO 2001-EP13064 20011112

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2002027919	A	Based on
EP 1339714	A1	Based on
JP 2004517828	W	Based on
US 7115599	B2	Based on
EP 1339714	B1	Based on
DE 50111292	G	Based on
DE 50111292	G	Based on
		WO 2002042302 A

PRIORITY APPLN. INFO: DE 2000-10057754 20001122

AN 2002-445507 [48] WPIX

AB DE 10057754 A1 UPAB: 20050902

NOVELTY - N-(4-Amino-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-pyrimidin-5-yl)-cyclic sulfonamides (I) are new.

DETAILED DESCRIPTION - Pyrazolo-pyridine derivatives of formula (I)

and their salts, isomers and hydrates are new.

R1 = H, Cl or NH2;

Q = group completing an optionally substituted 5-7 membered saturated or partially unsaturated heterocycle, optionally containing one

or more further N, O or S heteroatoms.

An INDEPENDENT CLAIM is included for the preparation of (I).

ACTIVITY - Cardiant; vasotropic; hypotensive; thrombolytic; anticoagulant; antiinflammatory; antiangina; antiarrhythmic; cerebroprotective; antiarteriosclerotic; antiasthmatic; cytostatic; osteopathic; uropathic; nootropic; neuroprotective; antiparkinsonian;

vulnerary; anti-HIV; neuroleptic; tranquilizer; hypnotic; antimigraine; analgesic. 6-Chloro-5-(1,1-dioxido-2-isothiazolidinyl)-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-4-pyrimidineamine

(Ia). had vasorelaxant IC50 290 nM (as determined by inhibition of phenylephrine-induced contraction of rabbit aortic rings in vitro).

MECHANISM OF ACTION - Soluble guanylate cyclase stimulant.

(I)

directly stimulate soluble guanylate cyclase and increase cellular cGMP levels, and thus cause vascular relaxation, inhibit thrombocyte aggregation, reduce blood pressure, increase coronary blood flow and potentiate the action of compounds which increase cGMP levels.

USE - (I) are used as medicaments, specifically for treating cardiovascular diseases, hypertension, thromboembolic diseases, sexual dysfunction, inflammation or central nervous system disorders (all claimed). Specific disorders to be treated include cardiac insufficiency, stable or unstable angina pectoris, arrhythmia, myocardial infarction, cerebral stroke, transitory ischemic attacks, peripheral blood flow disorders, restenosis, arteriosclerosis, asthma, prostate hypertrophy, erectile dysfunction, female sexual dysfunction, osteoporosis, gastroparesis, incontinence, cognitive disorders, age-associated learning and memory disorders, vascular dementia, cranial-cerebral trauma, Alzheimer's disease, Parkinson's disease, progressive nuclear palsy, amyotrophic lateral sclerosis, Huntington's disease, multiple sclerosis, thalamic degeneration, Creutzfeld-Jacob dementia, HIV dementia, schizophrenia, Korsakoff psychosis, anxiety, stress, depression, sleep disorders, eating disorders, migraine and pain.